(CONVENTION, By one or mg



CONVENTION APPLICATION FOR A PATENT

k ... HOECHST AKTIENGESELLSCHAFT,

45 Bruningstrasse. D-6230 Frankfurt/Main 80,

Federal Republic of Germany

hereby apply for the grant of a Patent for an invention entitled: 25 BICYCLIC IMIDES. A PROCESS FOR THEIR PREPARATION, AND

THEIR USE IN PLANT PROTECTION

which is described in the accompanying complete specification. This application is a ... Convention application and is based on the application numbered (3)

P36 43 748.4

for a patent or similar protection made in on 20th December 1986

LUNCIU A. UNIGHICE ! 2 1 DEC 1987 Ma de Surno

- address for service is Messrs. Edwd. Waters & Sons. Patent Attorneys.

geen Street, Melbourne, Victoria, Australia.

DATED this

18th

December

1987

day of HOECHST AKTIENGESELLSCHAFT

James Murray

BEST AVAILABLE COPY

Registered Patent Attorney

COMMONWEALTH OF AUSTRALIA

Patents Act 1952

DECLARATION IN SUPPORT OF A CONVENTION APPLICATION UNDER PART XVI. FOR A PATENT.

In support of the Convention application made under Part XVI. of the Patents Act 1952 by HOECHST AKTIENGESELLSCHAFT of 45, Brüningstrasse, D-6230 Frankfurt/Main 80, Federal Republic of Germany for a patent for an invention entitled:

BICYCLIC IMIDE: . PROCESS FOR THEIR PERIAPATION, AND THEIR DOR IN PLANT PR. IPCII N Was Bendhard Rower - Portsselwon, T-7 on GlackBrises/Tausdo.

VerFranc Lapin . Partner, Describe disin (Tentur). Federal Population of Germany

. do solemnly and sincerely declare as follows:

1. We are authorized by HOECHST AKTIENGESELLSCHAFT the applicant for the patent to make this declaration on its behalf.

2. The basic application as defined by Section 141 of the Act was made in the Federal Republic of Germany under No. 7 12 - 3 - 3 - 4 - 0 on 19 - 2011

by HOECHST AKTIENGESELLSCHAFT

 a) Balber Toron, or An dem Weiner to Diemer Toronwell. 51 Million, New York Member Property States St. 1986 1) Hillion Million of gen, the Farmery trace, 1-cles Belwheim (Taunus)

15 Ave the actgal intentor(s) of the invention and the facts upon which HOECHST AKTIENGESELLSCHAFT entition shine

make the application are as follows: HOECHST AKTIENGESELLSCHAFT

is the assignee of the said Sainer Liebi, Michael Frey, Hilman Mildenserson, Klaus Bauer. Hermann Bieri∴s∸:

4. The basic application referred to in paragraph 2 of this Declaration was the first application made in a Convention country in respect of the invention the subject of the application. DECLARED at Frankfurt/Main, Federal Republic of Germany

this 25th day of November 1967

To the Commissioner of Patents

HORGHST AKTIENGESELLSCHAFT Asthorized Signatoky pps. Pr i.V. Lapice

PAT 510

(11) Document No. AU-A-82875/87 (12) PATENT ABSTRACT

(19) AUSTRALIAN PATENT OFFICE

(54) Title BICYCLIC IMIDES

(51)4 International Patent Classification

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C07D 513/04 (21) Application No.: 82875/87 (22) Application Date: 21.12.87

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(57) Claim

A compound of the formula I, or a salt thereof,

$$\begin{array}{ccccc}
X & R_2 & R_3 \\
X & N & T & I \\
Y & R_1 & M & I
\end{array}$$

in which

denotes phenyl, naphthyl, pyridyl, quinolinyl or isoguinolinyl, these radicals being monosubstituted to tetrasubstituted, preferably monosubstituted to trisubstituted, by identical or different radicals from the series comprising halogen, hydroxyl, (C₁-C4)alkyl, halo(C1-C4)alkyl, (C1-C4)alkoxy, (C3-C6)cycloalkoxy, (C3-C6)alkenyloxy, (C3-C6)alkynyloxy, (C1-C4)alkoxy-(C1-C4)-alkoxy, halo(C1-C4)alkoxy, halo(C3-C6)alkenyloxy, halo(C3-C6)alkynyloxy, (C_1-C_4) alkylthio, (C_1-C_4) alkylsulfinyl, (C_1-C_4) alkylsulfonyl, NO2; -CN, -NHR1, cyano(C1-C4)alkyl, phenoxy, phenoxy(C1-C4)alkyl, phenyl(C1-C4)alkoxy , phenylthio, phenylsulfinyl or phenylsulfonyl, it being possibl for the six lastmentioned radicals to be monosubstituted, disubstituted or trisubstituted in the phenyl ring by halogen, $(C_1-C_4)alkyl$, $(C_1-C_4)alkoxy$, $(C_1-C_4)alkoxycarbonyl$, -CN or NO2, or furthermore by a radical of the formula

R1 denotes hydrogen, (C1-C4)alkyl or phenyl which may be monosubstituted or disubstituted by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, NO2, CN or (C1-C4)alkovearhord.

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R2 and R3, independently of one another, denote hydrogen,
(C1-C4)alkyl which may be substituted by cyano,
hydroxyl or (C1-C4)alkoxy, phenyl or benzyl which
may both be substituted, in each case preferably
monosubstituted or disubstituted, in the phenyl
ring by halogen, (C1-C4)alkoxyl, (C1-C4)-alkoxy, NO2
or -CN; (C1-C4)alkoxy, (C1-C4)-alkoxycarboxyl,
hal (C1-C4)alkoxycarboxyl, (C1-C4)-alkoxyC1-C4)-
alkoxycarboxyl, (C1-C4)-alkoxycarboxyl(C1-C4)-
alkoxycarboxyl, (C1-C4)-
alkoxycarboxyl, (C1-C4)-
formula

denotes hydrogen, (C₁-C₄)alkyl which may be monosubstituted to hexasubstituted by halogen and/or monosubstituted or disubstituted by (C₁-C₄)alkoxy, (C₁-C₄)alkoxy(C₁-C₄)alkoxy, (C₁-C₄)alkoxy(C₁-C₄)alkoxy(C₁-C₄)alkoxy(C₁-C₄)alkoxy(C₁-C₄)alkylthio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)alkylsulfonyl, phenolyl, benolyl, benolyl, phenolyl, p

benzyloxy, it being possible for the six lastmentioned radicals to be monosubstituted to trisubstituted, in each case in the phenyl ring or the heteroaromatic ring, by halogen, (C_1-C_4) alkyl or (C_1-C_4) alkoxy, (C_3-C_6) cycloalkyl, (C_3-C_6) -alkenyl, cyclohexenyl, (C_3-C_6) alkynyl or phenyl, which may be monosubstituted to trisubstituted by halogen, (C_1-C_4) alkoxy, (C_1-C_4) alkoxy or (C_1-C_4) alkoxycarbonyl; or a radical of the formula



where the lastmentioned radical is excluded when T = S,

- R5 denotes hydrogen or (C1-C4)alkyl,
- cenotes hydrogen, (C₁-C₄)alkyl or, together with F₄ and the nitrogen atom connecting these radiials, a 5- to 7-membered heterocyclic ring which an contain as ring members one or two radicals from the series comprising -0-, -S- and -NRS- and which may be monosubstituted to trisubstituted by (C₁-C₄)alkyl;
- R7 denotes hydrogen, (C1-C4)alkyl, phenyl or benzyl,
 where the phenyl ring may in each case be monosubstituted to trisubstituted by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, (C1-C4)alkoxycarbonyl,
 -NO2, CF3, -CN or a radical of the formula

- Rg and Rg, independently of one another, denote hydrogen or (C1-C2)alkyl, or the two radicals Rg and Rg, together with the nitrogen atom connecting them, denote a 5- to 7-membered heterocyclic ring which can contain as ring members one or two radicals from the series comprising -O-, -S- and -NR5- and which may be monosubstituted to trisubstituted by (C1-C4)alkyl, hydroxyl, (C1-C4)alkoxy, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl ring by (C1-C4)alkyl, (C1-C4)alkoxy, halogen or (C1-C4)alkoxy, carbonyl,
- R10 and R11, independently of one another, denote hydrogen, (C1-C4)alkyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in each case in the phenyl ring, by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, NO2. -CN, CF3 or (C1-C4)alkoxycarbonyl, or R10 and R11 together denote the

R₁₂ and R₁₃, independently of one another, denote (C_1-C_4) -alkyl, (C_1-C_4) -alkoxycarbonyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl ring by halogen, (C_1-C_4) -alkyl, (C_1-C_4) -alkoxycarbonyl, $(F_3$, -CN or NO_2 ,

T denotes
$$R_2$$
, $-S-$, $-S-$, $-S-$ or $-O-$,

- X denotes 0 or S,
- Y denotes O, S or NH,
- Z denotes O, S or NR6;
- m denotes 1, 2 or 3, and
- n denotes 1 or 2, with the proviso that m cannot be CH₂, S, SO or SO₂ when Y denotes O, R₁, R₂ and R₃ denote H and Q and T denotes CH₂.
- The use of a compound of the formula 1 of claim 1 or 2, or a salt thereof, as a herbicide.

COMMONWEALTH OF AUSTRALIA PATENTS ACT 195269

COMPLETE SPECIFICATION

Class

Int. Class

Application Number: Lodged:

Complete Specification Lodged: Accepted:

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Priority:

Related Art :

· Actual Inventor:

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Complete Specification for the invention entitled:

BICYCLIC IMIDES. A PROCESS FOR THEIR PREPARATION, AND

THEIR USE IN PLANT PROTECTION

The following statement is a full description of this invention, including the best method of performing it known to in the following statement is a full description of this invention, including the best method of performing it known to in the following statement is a full description of this invention, including the best method of performing it known to in the following statement is a full description of this invention, including the best method of performing it known to in the following statement is a full description of this invention, including the best method of performing it known to in the following statement is a full description of this invention.

1.

Bicyclic imides having a herbicidal activity are described in EP-A 70,389, EP-A 104,532 and US Patent 4.179.276.

Surprisingly, new bicyclic imides have now been found which have a markedly better herbicidal activity along with excellent selectivity.

The present invention therefore relates to compounds of the formula ${\bf I}_{\star}$ or their salts,

$$Ar - R \xrightarrow{X} R_{2} R_{3}$$

$$\downarrow \qquad \qquad \downarrow \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \qquad \downarrow \qquad \qquad \qquad \downarrow \qquad \qquad \downarrow \qquad \qquad \downarrow \qquad \qquad \downarrow \qquad \qquad \downarrow$$

in which

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denotes phenyl, naphthyl, pyridyl, quinolinyl or Αr isoquinolinyl, these radicals being monosubstituted to tetrasubstituted, preferably monosubstituted to trisubstituted, by identical or different radicals from the series comprising halogen, hydroxyl, (C1-C4)alkyl, halo(C1-C4)alkyl, (C1-C4)alkoxy, (C3-C6)-cycloalkoxy, (C3-C6)alkenyloxy, (C3-C4)alkynyloxy, (C1-C4)alkoxy-(C1-C4)-alkoxy, halo-(C1-C4)alkoxy, halo(Cz-C4)alkenyloxy, halo(Cz-C4)alkynyloxy, (C1-C4)alkylthio, (C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyl, NG2, -CN, -NHR1, cyano-(C1-C4)alkyl, phenoxy, phenoxy(C1-C4)alkyl, phenyl(C1-C4)alkoxy, phenylthio, phenylsulfinyl or phenylsulfonyl, it being possible for the six lastmentioned radicals to be monosubstituted, disubstituted or trisubstituted in the phenyl ring by halogen, $(C_1-C_4)alkyl$, $(C_1-C_4)alkoxy$,

 (C_1-C_4) alkoxycarbonyl, -CN or NO_2 , or furthermore by a radical of the formula

R₁ denotes hydrogen, (C₁-C₄)alkyl or phenyl which may

be monosubstituted or disubstituted by halogen,
(C₁-C₄)alkyl, (C₁-C₄)alkoxy, NO₂, CN or
(C₁-C₄)alkoxycarbonyl,

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R2 and R3, independently of one another, denote hydrogen, (C1-C4)alkyl which may be substituted by cyano, hydroxid of the control of the cont

20 R4 denotes hydrogen, (C1-C4)alkyl which may be monosubstituted to hexasubstituted by halogen and/or monosubstituted or disubstituted by (C1-C4)alkoxy, (C1-C4)alkoxy(C1-C4)alkoxy, (C1-C4)alkoxy-carbonyl, (C1-C4)alkylthio, (C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyl, (C1-C4)alkylamino, -CN, furyl, tetrahydrofuryl, benzofuryl, phenyl, phenoxy

or benzyloxy, it being possible for the six lastmentioned radicals to be monosubstituted to trisubstituted, in each case in the phenyl ring or the heteroaromatic ring, by halogen, (C_1-C_4) alkoxy, (C_3-C_6) cycloalkyl, (C_3-C_6) alkenyl, (C_3-C_6) alkynyl or phenyl, which may be monosubstitu zd to trisubstituted by halogen, (C_1-C_4) alkyl, (C_1-C_4) alkoxy or (C_1-C_4) alkoxycarbonyl; or a radical of the formula



where the lastmentioned radical is excluded when 7 = S.

R5 denotes hydrogen or (C1-C4)alkyl,

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denotes hydrogen, (C_1-C_4) alkyl or, together with R_4 and the nitrogen atom connecting these radicals, a 5- to 7-membered heterocyclic ring which an contain as ring members one or two radicals from the series comprising -0-, -S- and -NRS- and which may be monosubstituted to trisubstituted by (C_1-C_4) alkyl;

denotes hydrogen, (C_1-C_4) alkyl, phenyl or benzyl, where the phenyl ring may in each case be monosubstituted to trisubstituted by halogen, (C_1-C_4) -alkyl, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, $-NO_2$, CF_3 , -CN or a radical of the formula

-S-R1

Rg and Rg, independently of one another, denote hydrogen or (C1-C4)alkyl, or the two radicals Rg and Rg, together with the nitrogen atom connecting them, denote a 5- to 7-membered heterocyclic ring which can contain as ring members one or two radicals from the series comprising -O-, -S- and -NR5- and which may be monosubstituted to trisubstituted by (C1-C4)alkyl, hydroxyl, (C1-C4)alkoxy, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl. 10 ring by $(C_1-C_4)alkyl$, $(C_1-C_4)alkoxy$, halogen or (C1-C4)alkoxycarbonyl,

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R₁₀ and R₁₁, independently of one another, denote hydrogen, (C1-C4)alkyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in each case in the phenyl ring, by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, NO2, -CN, CF3 or (C1-C4)alkoxycarbonyl, or R10 and R11 together denote the

#12 radical,

 R_{12} and R_{13} , independently of one another, denote (C1-C4)alkyl, (C1-C;)alkoxycarbonyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl ring by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, (C1-C4)alkoxycarbonyl, CF3, -CN or NO2,

M denotes =
$$\begin{pmatrix} R_2 \\ R_3 \end{pmatrix}$$
, -S-, -S-, -S-, -9- or NR7;

denotes T
$$C$$
 R_2 , S_- , S_- , S_- or S_- or S_-

x denotes 0 or S,

y denotes O, S or NH,

Z denotes O, S or NR6,

m denotes 1, 2 or 3, and

n denotes 1 or 2,

with the proviso that M cannot be CH2, S, S0 or S02 when Y denotes O, R1, R2 and R3 denote H and Q and T denotes CH2.

10 The compounds of the formula I can exist as pure stereoisomers or as mixtures thereof. All these isomeric forms are covered by the invention.

Salt formation in the case of the compounds of the formula I can take place when R2 or R3 denotes carboxyl or when ZR4 denotes OH or SH. Possible salts are generally those which can be employed in agriculture. These include, for example, the alkali metal salts and alkalineearth metal salts, in particular Na, K, Mg and Ca salts, or the salts with ammonium, which may be monosubstituted to tetrasubstituted by organic radicals, in particular alkyl or hydroxyalkyl radicals.

In the definition of formula I, haloalkyl, haloalkoxy, haloalkenyloxy or haloalkynyloxy contains one or more halogen atoms, mainly one to six f, Cl or Br atoms.

These include, for example, the -CF3, -CF2F5, -CH2FF3, -CF2CH, -CF2CH2, -CF2CHFC1, -CF2-CH2-F3, -CF2-CHFBF, -OCF3, -OCF2-CHF2, -OCF2-CHFC1, -OCF2-CHC12, -OCF2-CHFBF, and -OCF2-CHF-CF3 radicals.

Suitable heterocyclic radicals for the R6-N-R4 or R8-N-R9 group are, in particular, piperioine, pyrrolidine, morpholine and 2,6-dimethylmorpholine.

Preferred compounds of the formula I are those compounds in which

denotes phenyl, which may be monosubstituted to trisubstituted by fluorine, chlorine, bromine, $(c_1-c_4)\text{alkyl}, \ (c_1-c_4)\text{alkoxy}, \ (c_3-c_4)\text{alkynyloxy}, \text{halo}(c_1-c_4)\text{alkyl}, \text{halo}(c_1-c_4)-\text{alkoxy}, -\text{nHR}_1, \ (c_1+c_4)\text{alkylthio}, \ (c_1-c_4)\text{alkyl}-\text{sulfonyl}, \text{NO}_2 \text{ or a radical of the formula}$

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R1 denotes hydrogen, (C1-C4)alkyl or (C1-C4)alkoxycarbonyl,

 R_2 and R_3 , independently of one another, denote hydrogen, $(C_1-C_4)alkyl, \ (C_1-C_4)alkoxycarbonyl, \ (C_1-C_4)-alkoxy(C_1-C_4)alkoxy-arbonyl, \ halo(C_1-C_4)alkoxy-carbonyl, \ (C_1-C_4)alkoxy-carbonyl, \ -(N, carboxyl or$

R4 denotes (C1-C4)alkyl, halo(C1-C4)alkyl, (C1-C4)alkoxyalkyl or (C1-C4)alkoxycarbonyl(C1-C4)alkyl;

phenyl or benzyl, which may both be monosubstituted or disubstituted by (C_1-C_4) alkyl, (C_1-C_4) alkoxy, halogen, NO_2 or $-CF_3$,

R8 and R9 denote hydrogen, (C1-C4)alkyl or, together with the nitrogen atom connecting them, a 6-membered saturated heterocyclic ring,

$$Q$$
 denotes C

- x denotes 0 or S,
- 5 Y denotes 0 or NH,
 - denotes 0 or 5 and
 - m denotes 1.

Particularly preferred compounds of the formula I are those compounds in which

- 10 Ar denotes phenyl, which may be monosubstituted to trisubstituted by fluorine, chlorine, brcmine, $(C_1-C_4)-atkyl$, $(C_1-C_4)atkoxy$, $(C_1-C_4)atkoxy$, $(C_1-C_4)atkoxy$, $(C_1-C_4)atkylthio$, alkenyloxy, $(C_3-C_4)atkylthio$,
- 15 R1 denotes hydrogen or (C1-C4)alkyl,

 R_2 and R_3 , independently of one another, denote hydrogen or (c_1-c_4) alkyl,

M denotes
$$>$$
 CR2R3 or oxygen,

Q and T denote \rightarrow CR2R3, and

20 X and Y denote oxygen.

The invention furthermore relates to a process for the proparation of compounds of the formula (1), or salts

thereof, wherein

a) where Y = 0 or S, a compound of the formula II is reacted with a compound of the formula III

5 in which R denotes H or (C1-C4)alkyl, or b) a compound of the formula II is reacted with an amine of the formula IV

10 c) where Y = 0, a compound of the formula I obtained under b) is hydrolyzed, and the compound obtained is converted, if appropriate, into its salt.

In process variant a), the reaction for R = alkyl takes place in an inert organic solvent, for example an aromatic solvent such as toluene or chlorobenzene, a halogenated hydrocarbon such as chloroform, an ether such as dissepropyl ether or in dimethylformamide, if appropriate with base catalysis, at temperatures from 20 to 120°C, preferably 60 to 100°C. The bases employed are preferably of the process of the bases catalysis at temperatures from 20 to 120°C, preferably 60 to 100°C. The bases employed are preferably organic bases, for example organic amines such as triethylamine or alternatively pyridine.

The reaction for R = hydrogen can alternatively be carried out in water as the solvent or, preferably, in the water/organic solvent 2-phase system. The procedure

is particularly preferred here in which the combound of the formula III is converted into the anion using an inorganic base, for example an alkali metal hydroxide, carbonate or hydrogen carbonate or alkaline-earth metal hydroxide, carbonate or hydrogen carbonate, such as sodium hydroxide or alternatively potassium carbonate, or an organic base, for example an organic amine such as this hydroxide.

or an organic base, for example an organic amine such as triethylamine. In order to dissolve the anion in water, the isocyanate or isothiocyanate of the formula II, dis
10 solved in an inert organic solvent such as, for example,

solved in an inert organic solvent such as, for example, toluene, chlorobenzene or chloroform is then added dropwise with vigorous stirring.

The pH of the aqueous phase is then adjusted to a value between 1 and 3 using an acid, preferably using a mineral 15 acid such as hydrochloric acid or sulfuric acid, and subsequently reacted further at temperatures between 50 and 100°C.

In process variant b), the reaction takes place in an inert organic solvent, for example an aromatic solvent 20 such as toluene or chlorobenzene, a halogenated hydrocarbon such as chloroform or in dimethylformamide, at temperatures from 20 to 120°C, preferably 60 to 100°C.

The hydrolysis in process variant c) takes place in water or aqueous mineral acid, if appropriate in the pre25 sence of an inert organic solvent, at temperatures between 20 and 120°C, preferably 60 and 100°C. Possible organic solvents are, for example, water-immiscible solvents such as aromatic solvents (for example toluene or chlorobenzene) or halogenated hydrocarbons (for example

The compounds of the formula (II) are known or can be prepared analogously to known processes, cf. Houben-Weyl, Methoden der organischen Chemie [Methods of Organic Chemistry], Vol. VIII, p. 120 (1952), Houben-Weyl Vol. IX,

35 p. 875, 869 (1955).

Some amines of the formula III are known. for Q, M and T = CR2R3 and Q and T = CR2R3 and M = NR7, they can be obtained by simple catalytic hydrogenation of the corresponding pyridine or pyrazine derivatives. Amines of the formula III can also be prepared from amines of the formula IV by conversion of the nitrile group by conventional methods, cf., for example, Org. Synth. Coll. Vol. I, p. 321 (1941) or Houben-Weyl Vol. VIII, p. 536.

Some amines of the general formula IV are known from JP-A
10 3073-569 or they can be prepared analogously to the pro-

The compounds of the formula I according to the invention have an excellent herbicidal activity against a broad range of economically important monocotyledon and dicoty-

5 ledon harmful plants. Even perennial weeds which are difficult to control and put out rhizomes, rootstocks or other permanent organs are well covered by the active compounds. At the same time, it is immaterial whether the substances are applied using presoving, preemergence

20 or postemergence methods.

The following are examples of harmful plants which can be controlled: weed grasses, such as Avena fatua, Alopecurus sp., Lolium sp., Setaria sp., Digitara sp., Sorghum halepense, Echinochloa sp., Agropyron sp., Cynodon sp. and

- Phalaris sp., and dicotyledon plants, such as Lamium sp.,
 Veronica sp., Galium sp., Stellaria sp., Matricaria sp.,
 Papaver sp., Centauria sp., Amaranthus sp., Galinsoga sp.,
 Mercurialis sp., Sida sp., Abutilon sp., Ambrosia sp.,
 Xanthium sp., Cirsium sp., Artemisia sp., Rumex sp.,
- 30 Convolvulus sp., Ipomea sp. and Sinapis sp..

If the compounds according to the invention are applied to the soil surface before germination, either emergence of the weed seedlings is entirely prevented, or the weeds grow to the seed leaf stage, but then stop growing and finally die completely after three to five weeks have

passed. On application of the active compounds to the green parts of the plants using the postemergence method, a drastic cessation of growth likewise occurs very rapidly after the treatment, and the weed plants remain at the stage of growth present at the time of application or die completely more or less quickly after a certain time, so that weed competition which is harmful for the crop plants can in this way be eliminated very early and lastingly through use of the novel agents according to

Although the compounds according to the invention have an excellent herbicidal activity against monocotyledon and dicotyledon weeds, crop plants of economically important crups, such as, for example, wheat, barley, rye, rice, corn, sugarbeet, cotton and soybean, are damaged only insignificantly or not at all. For these reasons, the present compounds are very highly suitable for selective control of undesired plant growth in agricultural crop plants.

20 In addition, the compounds according to the invention have growth-regulating properties in crop plants. They have a regulating action on the plant's inherent metabolism, and can thus be employed for simplifying harvesting, such as, for example, by initiating desiccation,

25 abscission and stunting of growth. In addition, they are also suitable for general control and inhibition of undesired vegetative growth without at the same time killing the plants. Inhibition of vegetative growth plays an important part in many monocotyledon and dicotyledon

30 crops since lodging can thereby be reduced or completely prevented.

The compounds according to the invention can be used in the conventional preparations, if appropriate mixed with further active compounds, as wettable powders, emulsifi-

35 able concentrates, sprayable solutions, dressings, dusts, dispersions, granules or microgranules.

Wettable powders are preparations, uniformly dispersible in water, which, besides the active compounds and in addition to a diluent or inert material, contain wetting agents, for example polyoxethylated alkylphenols, poly-

- 5 oxethylated fatty alcohols, alkyl- or alkylphenylsulfonates and/or dispersing agents, such as sodium ligninsulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutylnaphthalenesulfonate, or alternatively sodium oleoylmethyltaurinate. They are produced in a
- 10 conventional fashion, for example by grinding and mixing the components.

Emulsifiable concentrates can be produced, for example, by dissolving the active compounds in an inert organic solvent, such as butanol, cyclohexanone, dimethylform-15 amide, xylene or alternatively higher-boiling aromatics or aliphatic or cycloaliphatic hydrocarbons, with addition of one or more emulsifiers. In the case of liquid active compounds, the solvent component can also be

omitted entirely or partly. As emulsifiers, the follow20 ing can be used, for example: calcium salts of alkylarylsulfonic acid, such as Ca dodecylbenzenesulfonate,
or nonionic emulsifiers, such as fatty acid polyglycol
esters, alkylaryl polyglycol ethers, fatty alcohol
polyglycol ethers, propylene oxide/ethylene oxide con-

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25 densation products, fatty alcohol/propylene oxide condensation products, alkyl polyglycol ethers, sorbitan fatty acid esters, polyoxethylene sorbitan acid esters or polyoxethylene sorbitol esters.

Dusts can be obtained by grinding the active compounds 30 with finely divided solids, for example talc, natural clays, such as kaolin, bentonite, pyrophillite or diatomaceous earth.

Granules can be produced either by atomizing the active compounds onto adsorptive, granulated inert material or 35 by applying active compound concentrates onto the surface of carrier materials, such as sand or kaolinite, or of

granulated inert material by means of binders, for example polyvinyl alcohol, sodium polyacrylate or alternatively mineral oils. Suitable active compounds can also be granulated in the manner which is conventional

5 for the production of fertilizer granules - if desired as a mixture with fertilizers.

The active compound concentration in wettable powders is about 10 to 90% by weight, the remainder to 100% by weight comprising conventional formulation components.

- 10 In the case of emultifiable concentrates, the active compound concentration can be about 5 to 80% by weight.

 South formulations usually contain 0.05 to 20% by weight of active compound(s), and sprayable solutions about 2 to 20% by weight. In the case of granules, the active
- 15 compound content depends partly on whether the active compound is present in liquid or solid form and on which granulation auxiliaries, fillers etc. are used.

In addition, the active compound formulations mentioned contain, if appropriate, the adhesives, vetting agents, dispersants, emulsifiers, penetrants, solvents, fillers or excipients which are conventional in each case.

For use, the concentrates, present in commercially available form, are diluted, if appropriate, in a conventional fashion, for example using water in the case of wettable powders, emulsifiable concentrates, dispersions and, in some cases, also in the case of microgranules. Dusts and granulated formulations, and also sprayable solutions, are usually not further diluted with further inert substances before use.

30 The application rate necessary varies with the external conditions, such as temperature, humidity, inter alia. It can vary within broad limits, for example between 0.005 and 10.0 kg/ha or more of active substance, but is preferably between 0.01 and 2 kg/ha.

Mixtures of the mixed formulations with other active compounds, such as, for example, insecticides, acaricides, herbicides, fertilizers, growth regulators or fungicides, may also be possible.

5 The invention is illustrated by the following examples.

A. Formulation examples

A dust is obtained by mixing 10 parts by weight of active compound and 90 parts by weight of talc or inert material, and comminuting the mixture in a hammer mill.

- 10 A wettable powder which is easily dispersible in water is obtained by mixing 25 parts by weight of active compound, 64 parts by weight of kaolin-containing quartz as inert material, 10 parts by weight of potassium lignin-sulfonate and 1 part by weight of sodium oleoylmethyl-
- 15 taurinate as wetting and dispersing agent, and grinding the mixture in a pin disk mill.
- A dispersing concentrate which is easily dispersible in water is obtained by mixing 20 parts by weight of active compound with 6 parts by weight of alkylphenol polyglycol ether (Triton X 207), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range, for example, about 255 to above 377°C), and grinding the mixture in a ball mill to a fineness below 5 microns.
- 25 An emulsifiable concentrate is obtained from 15 parts by weight of active compound, 75 parts by weight of cyclohexanone as solvent and 10 parts by weight of oxethylated nonylphenol (10 EO) as emulsifier.

Chemical Examples

Example 1

1-Ethoxycarbonylethyl 8-(4-chloro-3-ethoxycarbonylphenyl)-7,9-dioxo-1,8-diazabicyclo[4.3.0]nonane-2-carboxylate

- 5 37.3 g (0.10 mol) of bis-(1-ethoxycarbonylethyl) piperidine-2,6-biscarboxylate were dissolved in 200 ml of toluene. 22.6 g (0.10 mol) of 4-chloro-3-ethoxycarbonylphenyl isocyanate, dissolved in 50 ml of toluene, were added dropwise at 20 - 30° C. After stirring for 1 hour 10 at room temperature, the mixture was stirred for a further 3 hours at 80°C. After removing the solvent by distillation, the solid which remained was recrystallized from methanol. 39.5 g (82% of theory) of 1-ethoxycarbonylethyl 8-(4-chloro-3-ethoxycarbonylphenyl)-7,9-dioxo-
- 15 1,8-diazabicyclo[4.3.9]nonane-2-carboxylate were obtained in the form of colorless crystals of melting point: 121 -128°C.

Example 2 8-(4-Chloro-2-fluoro-5-methoxyphenyl)-2-methyl-7,9-dioxo-20 1,8-diazabicyclo[4.3.0]nonane

20.2 g (0.10 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isocyanate, dissolved in 100 ml of toluene, were added dropwise to 17.1 g (0.10 mol) of ethyl 6-methylpiperidine-2-carboxylate in 100 ml of toluene. The mixture was 25. stirred for 3 hours at 100° C. The solvent was removed

- by distillation under reduced pressure, and the residue was dried in a high vacuum to constant weight. 33.0 g (99% of theory) of 8-(4-chloro-2-fluoro-5-methoxyphenyl)-2-methyl-7,9-dioxo-1,8-diazabicyclo[4.3.0]nonane were
- 30 obtained in the form of a pale yellow syrup.

Example 3

Ethyl 8-(4-chloro-2-fluoro-5-methoxyphenyl)-7,9-dioxo-1,8-diazabicyclo[4.3.0]nonane-3-carboxylate

20.2 g (0.1 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isocyanate, dissolved in 100 ml of chlorobenzene, were added dropwise to 22.9 g (0.1 mol) of diethyl piperidine-2,5-dicarboxylate in 150 ml of chlorobenzene. The mixture was stirred for 3 hours at 100°C, the solvent was removed by distillation, and the residue was dried in a

10 high vacuum to constant weight. 37.8 g (98% of theory) of ethyl 8-(4-chlo:o-2-fluoro-5-methoxyphenyl)-7,9-dioxo-1,8-diazabicyclo[4.3.0]nonane-3-carboxylate were obtained in the form of a honey-colored syrup.

Example 4

15 Ethyl 8-(4-chloro-2-fluoro-5-methoxyphenyl)-7,9-dioxo-1,4,8-triazabicyclo[4.3.0]nonane-5-carboxylate

10.1 g (0.05 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isocyanate, dissolved in 70 ml of toluene, were added dropwise within 1 hour to 11.5 g (0.05 mol) of diethyl

- 20 piperazine-2,3-dicarboxylate in 100 ml of toluene at 20°C. The mixture was subsequently stirred for 4 hours at 100°C, and the solvent was removed by distillation. The residue which remained was triturated with hexane and the solid was filtered off under suction. 14.8 g (77% of
- 25 theory) of ethyl 8-(4-chloro-2-fluoro-5-methoxyphenyl)-7,9-dioxo-1,4,8-triazabicyclo[4.3.0]nonane-5-carboxylate were obtained in the form of pale yellow crystals of melting point 56 - 58°C.

Example 5

30 8-(4-Chlorophenyl)-7-imino-9-oxo-1,8-diazabicyclo[4.3.0]-nonane

15.4 g (0.1 mol) of 4-chlorophenyl isocyanate, dissolved in 50 ml of toluene, were added dropwise to 11.0 g (0.1 mol) of 2-cyanopiperidine in 80 ml of toluene. The

mixture was stirred for 4 hours at 100°C, and the solvent was removed by distillation. 26.0 g (98% of theory) of 8-(4-chlorophenyl)-7-imino-9-oxo-1,8-diazabicyclo[4.3.0]-nonane were obtained in the form of a pale brown glassy

Example 6

8-(4-Chloro-2-fluorn-5-methoxyphenyl)-3,5-dimathyl-7,9-dioxo-4-oxa-1,8-diazabicyclo[4.3.0]nonane

20.2 g (0.10 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isocyanate, dissolved in 100 ml of toluene, were added dropwise to 13.9 g (0.10 mol) of 3-cyano-2,6-dimethyl-morpholine in 100 ml of toluene. The mixture was stirred for 3 hours at 100°C. After 50 ml of 20% strength aqueous hydrochloric acid had been added, the mixture was stirred in a further 2 hours at 100°C. The organic phase was separated off, washed twice with 100 ml of water and dried over sodium sulfate. The tacky, solid residue remaining after the solvent had been stripped off was triturated with dissopropy: ether. 26.4 g (77% of theory) of 8-(4-chloro-2-fluoro-5-methoxyphenyl)-3,5-dimethyl-7,9-dioxo-4-o-a-1,8-diazabicyclo[4.3.0]nonane were obtained in the form of colorless crystals of melting point 126 - 131°C.

Example 7

25 8-(4-Chloro-2-fluoro-5-methoxyphenyl)-7,9-dioxo-3-thia-1,8-diazabicyclu(4.3.0]nonane

20.2 g (0.10 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isocyanate, dissolved in 50 ml of toluene, were added dropvise to 17.5 g (0.10 mol) of ethyl 1,3-thiazane-4-30 carboxylate in 150 ml of toluene. The mixture was stirred for 4 hours at 100°C, and the solvent was removed by distillation. The solid which remained was triturated with di-isopropyl ether and dried.

24.9 g (75% of theory) of 8-(4-chloro-2-fluoro-5-methoxy-35 phenyl)-7,9-dioxo-3-thia-1,8-diazabicyclo[4.3.0]nonane were

obtained in the form of pale yellow crystals of melting point $55-61^{\circ}\text{C}$.

Example 8

8-(4-Chloro-2-fluoro-5-propargyloxyphenyl)-2-(1,3-dioxo-5 lan-2-yl)-7,9-dioxo-1,8-diazabicyclo[4.3.0]nonane

11.3 g (0.05 mol) of 4-chloro-2-fluoro-5-propargyloxy-phenyl isocyanate, dissolved in 50 ml of toluene, were added dropwise to 11.5 g (0.05 mol) of ethyl 6-i1,3-dioxolan-2-yl)-piperidine-2-carboxylate in 100 ml of toluene. After the mixture had been stirred for 3 hours at 100°C, the solvent was removed by distillation, and the residue was dried in a high vacuum to constant weight.

19.8 g (97% of theory) of 8-(4-chloro-2-fluoro-5-propargyl-oxyphenyl)-2-(1,3-dioxolan-2-yl)-7,9-dioxo-1,8-diaza-

15 bicyclo[4.3.0] nonane were obtained in the form of a colorless glass.

Example 9

4-Benzyl-8-(4-chloro-2-fluoro-5-isopropoxyphenyl)+7,9-dioxo-1,4.8-triazabicyclo(4.3.0]nonane

- 20 11.5 g (0.05 mol) of 4-chloro-2-fluoro-5-isopropo yphenyl isocyanate, dissolved in 50 ml of toluene, were added droovise to 12.4 g (0.05 mol) of ethyl 4-benzylpiperazine-2-carboxylate in 100 ml of toluene. The mixture was stirred for 3 hours at 100°C, and the solvent was removed 25 by distillation. After drying the residue in HV¹⁾ to
- by distillation. After drying the residue in NV to constant weight, 30.7 g (96% of theory) of 4-benzyl-8-(4chloro-2-fluoro-5-isopropoxyphenyl)-7,9-dioxo-1,4,8triazabicyclo[4.3.0]nonane were obtained in the form of a pale yellow syrup.
- 30 HV = high vacuum

Example 10

2,2,2-Triffuoroethy' 8-(4-chloro-2-fluoro-5-methoxyphenyl)-7-oxo-9-thioxo-1,8-diazabicyclof4.3.0]nonane-2carboxylate

5 10.9 g (0.05 mol) of 4-chloro-2-fluoro-5-methoxyphenyl isothiocyanate, dissolved in 70 ml of toluene, were added dropwise to 16.9 g (0.05 mol) of bis(2,2,2-trifluoroethyl) piperidine-2,6-dicarboxylate in 150 ml of toluene, and the mixture was stirred for 6 hours at 100°C. After the solvent had been removed by distillation, the residue was triturated with n-hexane and dried. 19.8 g (87% of theory) of 2,2,2-trifluoroethyl 8-(4-chloro-2-fluoro-5-methoxyphenyl)-7-oxo-9-thioxo-1,8-diazabicyclo(4.3.0)-nonane-2-carboxylate were obtained in the form of pale beige crystals of melting point 134 - 138°C.

The compounds (I) of Table 1 below can be prepared in an analogous fashion.

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		4-C1-C ₆ H ₄	0	0	-сн2-	-\$-	-CH2-	Ŧ	-сн3	+	Syrup

1-2-F-5-0CH ₃ C ₆ H ₂ 0 0 -CH-CH ₃ -SCH-CH ₃ -H -H S	1-2-F-5-0CH ₃ -c ₆ H ₂ 2F-5-0CH ₃ -c ₆ H ₂ -3-m ₂ OH(O ₄)m ₂ C ₄ S-c ₆ H ₃ -Cl ₂ -4-Cl ₃ OH(Cr ₂ 0-c ₆ H ₂ -1-2-F-5-0CH ₃ -c ₆ H ₂	7 0 CH-CH ₃ 0 -CH-CH ₃ NH -CH ₂ - NH -CH ₂ - NH -CH ₂ -	- S CH ₂ - CH ₂	1 R R	
A-C1-2-F-5-0CH ₃ -c ₆ H ₂ 0 -CH-CH ₃ -S- -CH-CH ₃ ×H - H A-C1-2-F-5-0CH ₃ -c ₆ H ₂ 0 -CH-CH ₃ -S- -CH-CH ₃ ×H - H A-B-2F-5-0CH ₃ -c ₆ H ₂ 0 -CH-CH ₃ -S- -CH-CH ₃ ×H - H A-C1-3-02 ₀ QH ₂ H ₂ S ₂ C ₆ H ₃ 0 -CH ₂ -CH ₂ -CH ₂ -H A-C1-3-02 ₀ QH ₂ H ₂ S ₂ C ₆ H ₃ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ H ₂ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ H ₂ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H A-C1-2F-5-0CH ₂ C ₁ H ₂ C ₁ H ₂ 0 -CH ₂ -CH ₂ -CH ₂ -H -H </th <th>1-2-F-5-0CH₃-v₆H₂ 1-2-F-5-0CH₃-v₆H₂ -3-m₂O((O₃)m₂C₂k₃-c₆H₃ -Cl₂-d-Cl₃OHCC₂0-c₆H₂ 1-2-F-5-0CH₃-c₆H₂</th> <th>7 0 0 - CH - CH 3 HH - CH 2 NH - CH 2 NH - CH 2</th> <th>- S CH 2 CH 2</th> <th>1 R₁ R₂</th> <th></th>	1-2-F-5-0CH ₃ -v ₆ H ₂ 1-2-F-5-0CH ₃ -v ₆ H ₂ -3-m ₂ O((O ₃)m ₂ C ₂ k ₃ -c ₆ H ₃ -Cl ₂ -d-Cl ₃ OHCC ₂ 0-c ₆ H ₂ 1-2-F-5-0CH ₃ -c ₆ H ₂	7 0 0 - CH - CH 3 HH - CH 2 NH - CH 2 NH - CH 2	- S CH 2	1 R ₁ R ₂	
$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	4-C1-2-F-5-OCH ₃ -c ₆ H ₂ " 4-Br-2F-5-OCH ₃ -C ₆ H ₂ 4-C1-3-m ₂ O((O ₃)m ₂ C ₂ H ₂ -C ₆ H ₃ 3-5-C1 ₂ -4-C ₁ 3-C ₆ H ₂ 4-11-2-F-5-OCH ₃ -C ₆ H ₂ 4-11-2-F-5-OCH ₃ -C ₆ H ₂	0 - CH-CH ₃ 0 - CH-CH ₃ NH - CH ₂ - NH - CH ₂ -	- S - - S - - CH ₂ -	Contraction of the last designation of the last of the	R ₃ m.p.(⁹ C)
\$\$ \text{\$ \text	4-Br-2F-5-0CH ₃ -C ₆ H ₂ 4-Cl-3-Ω ₂ O(O _Y)Ω ₂ C _Y ξ-C _H 3-5-Cl ₂ -4-Cf ₃ OBCC ₇ 0-C ₆ H ₂ 4-1-2-F-5-0CH ₃ -C ₆ H ₂	0 - CH - CH ₃ NH - CH ₂ - NH - CH ₂ - NH - CH ₂ -	- S - - CH ₂ - - CH ₂ -	- Си-си-,-и -н	-H Resin
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	" 4 - 11 - 2 F - 5 - 0 C H ₃ - C ₆ H ₂ 4 - C1 - 3 - 0 C G G G G G G G G G G G G G G G G G G	NH -CH ₂ - NH -CH ₂ - NH -CH ₂ -	-СH ₂ -	-сн-сн3/-н -н	-H Resin
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	4-8r-2F-5-0CH ₃ -C ₆ H ₂ 0 4-Ct-3-0 ₂ Ot(O ₃)00 ₂ C ₂ H ₅ -C ₆ H ₃ 0 3-5-Ct ₂ -4-Ct ₃ 20trCt ₂ 0-C ₆ H ₂ 0 4-1-2-F-5-0CH ₃ -C ₆ H ₂ 0	NH -CH ₂ -	-CH2-	-СН3 н - н	.H 48-53
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	4-C1-3-02-04(C4) W2,C24-C44 0 3-5-C1 ₂ -4-C7 3CHCC7 ₂ 0-C ₆ H ₂ 0 4 1-2-F-5-0CH ₃ -C ₆ H ₂ 0	MH -CH2-		-СН2Н -Н	-K 75-80
1-5-C1 ₂ -4-C7 50FC7 50-C6 ₁ 0 NH - CH ₂ - C	$3.5-C1_2-4-CF_3CHCCF_20-C_6H_2=0$ $41-2-F-5-0CH_3-C_6H_2=0$		-CH2-	-сн2н -н	-H Syrup
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	4: 1-2-F-5-0CH3.C6H2 0	NH -CH2-	- CH ₂ -	-сн2н -н	-н 102-106
$\begin{array}{cccccccccccccccccccccccccccccccccccc$		NH -CH2-	-сн-сн3	-сн2 -н-н	-H Glass
$\begin{array}{cccccccccccccccccccccccccccccccccccc$. ² н5- ны	-0-	-сн ₂ н -н	-H 142-147
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	4-C1-2F-5-0CH ₂ C=CH-C ₆ H ₂	NH -CH2-	- CH2-	-сизи -н	н 112-116
$4 \cdot 8r \cdot 3 \cdot 50_2 CH (CH_3)_2 \cdot C_6 H_3$ 0 NH $\cdot CH_2$ $\cdot CH_2$ $\cdot CH_2$ $\cdot CH_2$ $\cdot H$ $\cdot H$ 6 $4 \cdot CH_2 \cdot CO_2 C_3 H_3 \cdot C_6 H_3$ 0 NH $\cdot CH_2$ $\cdot O$ $\cdot CH_2$ $\cdot CH_2$ $\cdot H$ $\cdot H$ 6 $4 \cdot OCH_3 \cdot 3 \cdot CO_2 C_3 H_4 \cdot C_6 H_3$ 0 NH $\cdot CH_2$ $\cdot CH_2$ $\cdot CH_2$ $\cdot CH_2$ $\cdot H$ \cdot	4-C1-2F-5-0CH(CH3)2-C6H2	NH -CH2-	- 0 -	-СН2н -н	-H Glass
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	4-8r-3-00 ₂ CH(CH ₃) ₂ -C ₆ N ₃	NH -CH2-	-СН2-	-сн2н -н	06-98 H-
$^{4\cdot 0CH_3-3\cdot CO}_2C_2H_3^+C_6H_3$ 0 NH $^{-}$ CH ₂ - CH ₂ - CH ₂ - CH ₂ - H - H $^{4\cdot 5}$ $^{\prime}$ $^{$	4-C1-2-F-5-C0 ₂ C ₂ H5-C ₆ H2	NH -СН2-	-0-	-сн2н -н	SSE19 H-
4.5.С.У3.40,ОНОЦ-2.С.И.3 О МИ -СИ2 СИ2 СИ2 Н - Н	4-0CH3-3-CO2C2H5-C6H1	NH -CH2-	-сн2-	н- н2нэ-	-H Resin
	4-5-€ /4,-3-10,011047),-6,43	ин -CH2-	-сн3-	- Сн2 н - н	-H Resin

txample Ar	×		Σ	T R1 R2		83 ". p.(⁰ C.)
4-1004/013-3-002CH5-C6H3	0	ин си,	-0-	-си2н -н	Ŧ	Resin
4-C1-2-F-5-OCH3-C6H2	0	МН -СИ₂-	-сн-сн ₃	-сн2н -н	Ξ	61205
	0	NН -СМ-СН ₃	-сн ₂ -	Сн-снз -н	Ŧ	61055
	0	NH -CH ₂ -	-c _H 2-	-сн2- оч3-сн3	н Е	Syrup
=	0	ч -сн₂-	- сн-снз	-сн ₂ -он ₃ -сн ₃	3 -н	Syrup
=	2	т -сч2-	-0-		Ξ-	Resin
	0	ин -сн ₂ -	-NH-	-CH2H -H	Ŧ.	Resin
	0	т -сну.	-N-CH3	-сн2н -н	Ξ.	Syrup
	0	-/но- н	- k-C, H,	-сн2н -н	Ξ.	Resin
	0	NH -CH ₂ -	- k-ç-way	-сн3-	Ŧ	Resin
	c	NH -СИ ₂ -	-N-P=0 (0C2H5)2	-сн ₂ н -н	Ŧ	Glass
	S	NH -CH2-	- сн-сн	- CH3-	Ŧ	61358
4-C1-2-F-5-004,C304-C,H,	S	NH -CH3-	-CH3-	H- HCH3-	I	61 ass
H J- 1 HJJHJU-5-3-6-1J-9	~	ин - CH-CH ₂	- CH3-	-сн-сн ₃ -н -н	Ψ,	Resin

Example	Ar	×	>	0	I	-	R ₁ R ₂	۳ ا	K.p.(0(.)
8.9	4-C1-2-F-5-0CH ₁ -C ₆ H ₆	N	₹	1 -CH ₂ -	-0-	-CH2-	¥-	Ŧ	61 4 5 5
06	n n	S	Ē	-ċн-сн ₁	-0-	-CH-CH	3 -н -н	Ŧ	61455
16		S	Ŧ	-CH ₂ -	÷-	-CH2-	H- H-	Ŧ	Syrup
35		S	ž	-CH ₂ -	CH-CO,C2H5	-Œ-	¥-	Ŧ	Syrup
93	=	S	ž		-HN-	-CH2-	± ÷	Ŧ	Resin
94	r	S	ž	-сн,-	-'n-cн ₃	-СH ₂ -	¥-	Ŧ	Resin
95		S	ž		-CH)-	÷	H- H-	Ŧ	Res.n
96		S	0	-сн ₂ -	-CH ₂ -	-Ş-	¥- ¥-	<u> </u>	Resin
16	4-8r-2-F-5-0CH3-FeH3	S	0	-СН ₂ -	-CH2-	÷	∓ ∓	Ŧ	Resin
98	4.Br-2f-5-0CH2CFCH-CKH2	0	0	-сн ₂ -	-CH ₂ -	÷	H- H-	Ŧ	Resin
66	4-C1-2F-50CH(CH ₁) ₂ -C ₆ H ₂	0	0	-(11)-	-CH ₂ -	-Ş-	H- H-	Ŧ	Resin
100	4-C1-2F-5-CCH-CFH-CKH2	S	0	-Сн.)-	-CH ₂ -	-Ş	H- H-	Ŧ	Syrup
101	4-Br-5-CO,CH(CH,),-C,H,	S	0	-CH ₂ -	-сну-	-Ş-	#- #-	Ŧ	Resin
102	4-C1-5-C0,CH(CH,)CO,C,H,-C,H,	۰ را	0	-011,	-CH2-	-S-	H- H-	Ŧ	Resin
103	9	0	0	-CH ₂ -	-CH2-	۶-	H- H-	Ŧ	Resin
104	2.4+2-5-00,01(04.),-C.H.	0	0	-CH)-	-CH ₂ -	-ş-	F F	Ŧ	Syrup
105	6-0CJK -3-CJ/CJK -CJH,	S	0	-04)-	-01/-	÷	¥ ¥	Ŧ	Syrup
106	4-5C2H5-3-CV2CH2CF3-C6H3	0	0	-CH2-	-CII ² -	-\$-	Ŧ *	Ŧ	Resin

Bictogital Examples

The uamage to weed plants or the crop plant compatibility was assessed according to a key, in which the effectiveness is expressed by values from 0 - 5. In this:

no worker (4.5 W O = no action or damage - A to 原始) -

1 = 0 - 20% action or damage

2 = 20 - 40% action or damage 3 = 40 - 60% action or damage

4 = 60 - 80% action or damage

10 5 = 80 - 100% action or damage

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1. Pre-emergent action on weeds

Seeds or rhizome pieces of monocotyledonous and dicotyledonous weed plants were placed in sandy loam in plastic pots (ϕ = 9 cm) and covered with soil. The compounds according to the invention, formulated in the form of wettable powders or emulsion concentrates, were then applied in varying dosages to the surface of the covering soil as aqueous suspensions or emulsions with an applicational amount of water equivalent to 600 - 800 L/ha.

After treatment, the pots were placed in a greenhouse and kept under good growth conditions for the weed plants (temperature 23 plus/minus 1° C, relative air humidity 60-80%).

The optical assessment of the plants or emergence damage in comparison to the untreated controls was carried out after emergence of the test plants after an experimental time of 3 - 4 weeks.

As the assessment values in Table 2 show, the compounds 30 according to the invention have a good herbicidal preemergence activity against a broad spectrum of weed grasses and weeds.

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Post-emergent action against weeds

Seeds or rhizome pieces of monocotyledonous and dicotyledonous weeds were placed in sandy loam in plastic pots*($\phi = 0.9$ cm), covered with soil, and germinated in a greenhouse under good growth conditions. The test plants were treated at the three-leaf stage three weeks after sowing.

The compounds according to the invention, formulated as wettable powders or as emulsion concentrates, were sprayed onto the green plant parts in various dosages having an applicational amount of water equivalent to 600 L/ha, and the action of the preparations was assessed optically in comparison to untreated controls after the test plants had stood for about 3 - 4 weeks in a greenhouse under optimum growth conditions (temperature 23 plus/minus i⁰C, relative air humidity 60 - 80%).

The agents according to the invention also have a good post-emergent herbicidal activity against a broad spectrum of economically important weed grasses and weeds (Table 3).

Tabelle 2: Pre-emergent action of the compounds

Dose	hei	bicida	al act	10 n	
kg of a.i./ha	STM	CRS	SIA	LOM	E C G
2.5	5	5	5	5	5
	3	4	2	2	4
_	5	5	. 5	5	5
	5	5	5	5	5
	5	5	5	5	5
	5	5	5	5	5
	5	5	5	5	5
	5	5	5	5	5
-	5	5	5	5	5
	5	5	5	5	5
	Dose kg of a.i./ha 2.5 2.5 2.5 2.5 2.5 2.5 2.5 2.5 2.5 2.	2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5 2.5 5	2.5 5 5 5 2.5 5 5 5 2.5 5 5 5 2.5 5 5 5	2.5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 5 5 5	kg of a.i./ha STM CRS SIA LUM 2.5 5 5 5 5 2.5 3 4 2 2 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5 2.5 5 5 5 5

Tabelle 3: Post-emergent action of the compounds

xample	Dose	1	nerbic	ial act		
	kg of a.i./ha	STM	CRS	SIA	LDM	ECG
2	2.5	5	5	5	5	5
6	2.5	5	4	5	5	5
7	2.5	5	4	5	51.	4
54	2.5	5	5	5	5	5
55	2.5	4	. 3	3	3	4
63	2.5	5	4	5	5	3.
64	2.5	4	3	5	4	4
67	2.5	4	5	5	3	3
68	2.5	5	5	5	5	5

Abbreviations

STM = Stellaria media

CRS = Chrysanthemum segetum

SIA = Sinapis alba

LOM = Lolium multiflorum

ECG = Echinochloa crus-galli

a.i. = active substance

PATER CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS: 7 312

A compound of the formula I, or a salt thereof,



in which

denotes phenyl, naphthyl, pyridyl, quinolinyl or isoquinolinyl, these radicals being monosubstituted to tetrasubstituted, preferably monosubstituted to trisubstituted, by identical or different radicals from the series comprising halogen, hydroxyl, (C₁-Ca)alkyl, halo(C1-C4)alkyl, (C1-C4)alkoxy, (C3-C6)cycloalkoxy, (C3-C6)alkenyloxy, (C3-C6)alkynyloxy, (C1-C4)alkoxy-(C1-C4)-alkoxy, halo(C1-C4)alkoxy, halo(C3-C6)alkenyloxy, halo(C3-C6)alkynyloxy, (C1-C4)alkylthio, (C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyt, NO2, -CN, -NHR1, cyano(C1-C4)alkyl, phenoxy, phenoxy(C1-C4)alkyl, phenyl(C1-C4)alkoxy , phenylthio, phenylsulfinyl or phenylsulfonyl, it being possibl for the six lastmentioned radicals to be monosubstituted, disubstituted or trisubstituted in the phenyl ring by halogen, (C1-C4)alkyl, (C1-Ca)alkoxy, (C1-C4)alkoxycarbonyl, -CN or NO2, or furthermore by a radical of the formula

denotes hydrogen, (C1-C4)alkyl or phenyl which may R₁ be monosubstituted or disubstituted by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, NO2, CN or (C1-C4)alkoxycarbonyl,

R2 and R3, independently of one another, denote hydrogen, (C1-C4)alkyl which may be substituted by cyano, hydroxyl or (C1-C4)alkoxy, phenyl or benzyl which may both be substituted, in each case preferably monosubstituted or disubstituted, in the phenyl or -CN; (C1-C4)alkoxy, (C1-C4)-alkoxy, NO2 or -CN; (C1-C4)alkoxy, (C1-C4)-alkoxycarbonyl, halo(C1-C4)alkoxycarbonyl, (C1-C4)-alkoxycarbonyl, (C1-C4)-alkoxycarbonyl, carboxyl or a radical of the formula

R /

denotes hydrogen, (C1-C4)alkyl which may be monosubstituted to hexasubstituted by halogen and/or monosubstituted or disubstituted by (C₁-C₄)alkoxy, (C1-C4)alkoxy(C1-C4)alkoxy, (C1-C4)alkoxycarbonyl, (C_1-C_4) alkylthio, (C_1-C_4) alkylsulfinyl, (C_1-C_4) alkylsulfonyl, (C1-C4)alkylamino, -CN, furyl, tetrahydrofuryl, benzofuryl, phenyl, phenoxy or benzyloxy, it being possible for the six lastmentioned radicals to be monosubstituted to trisubstituted, in each case in the phenyl ring or the heteroaromatic ring, by halogen, (C₁-C₄)alkyl or (C1-C4)alkoxy, (C3-C6)cycloalkyl, (C3-C6)-alkenyl, cyclohexenyl, (C3-C6)alkynyl or phenyl, which may be monosubstituted to trisubstituted by halogen, (C_1-C_4) alkyl, (C_1-C_4) alkoxy or $(C_1\cdot C_4)$ alkoxycarbonyl; or a radical of the formula

where the lastmentioned radical is excluded when

- denotes hydrogen or (C1-C4)alkyl,
- denotes hydrogen, (C1-C4)alkvl or, together with R 6 R4 and the nitrogen atom connecting these radicals, a 5- to 7-membered heterocyclic ring which can contain as ring members one or two radicals from the series comprising -O-, -S- and -NR5- and which may be monosubstituted to trisubstituted by (C1-C4)alkyl;
 - denotes hydrogen, (C1-C4)alkyl, phenyl or benzyl, where the phenyl-ring may in each case be monosubstituted to trisubstituted by halogen, (C1-C4)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl, -NO2, CF3, -CN or a radical of the formula

-S-R1

(ö)_n

Rg and Rg, independently of one another, denote hydrogen or (C1-C4)alkyl, or the two radicals Rg and Rg, together with the nitrogen atom connecting them, denote a 5- to 7-membered heterocyclic ring which can contain as ring members one or two radicals from the series comprising -0-, -S- and -NRS- and which may be monosubstituted to trisubstituted by (C1-C4)alkyl, hydroxyl, (C1-C4)alkoxy, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl ring by (C1-C4)alkyl, (C1-C4)alkoxy, halogen or (C1-C4)alkoxycarbonyl,

R10 and R11, independently of one another, denote hydrogen, -(C1-C4)alkyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in each case in the phenyl ring, by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, NO2, -CN, CF3 or (C1-C4)alkoxycarbonyl, or R10 and R11 together denote the



R12 and R13, independently of one another, denote (C1-C4)-alkyl, (C1-C4)alkoxycarbonyl, phenyl or benzyl which may both be substituted, preferably monosubstituted to trisubstituted, in the phenyl ring by halogen, (C1-C4)alkyl, (C1-C4)alkoxy, (C1-C4)-alkoxycarbonyl, CF3, -CN or NO2,

- χ denotes 0 or S,
- denotes O, S or NH,
- Z denotes O, S or NR6,
- m denotes 1, 2 or 3, and
- denotes 1 or 2,

with the proviso that m cannot be CH2, S, SO or SO2 when Y denotes O, R1, R2 and R3 denote H and Q and T denotes CH2.

- 2. A compound of the formula I of claim 1, in which
- Ar denotes phenyl, which may be monosubstituted to trisubstituted by fluorine, chlorine, or bromine, $(c_1-c_4) \text{alkyl}, \ (c_1-c_4) \text{alkoxy}, \ (c_3-c_4) \text{alkynyloxy}, \ \text{halo}(c_1-c_4) \text{alkyl}, \ \text{halo}(c_1-c_4)-\text{alkoxy}, -\text{NHR}_1, \ (c_1-c_4) \text{alkylthio}, \ c_1-c_4) \text{alkyl}-\text{sulfonyl}, \ \text{NO}_2 \ \text{or a radical of the formula}$

$$-\overset{\text{C}-Z-R_4}{\underset{\text{X}}{\text{X}}} \quad \text{or} \quad -\overset{\text{X}}{\underset{\text{C}}{\text{X}}} -\overset{\text{X}}{\underset{\text{C}}{\text{X}}} \overset{\text{X}}{\underset{\text{C}}{\text{Z}}} -\overset{\text{X}}{\underset{\text{C}}{\text{Z}}} -\overset{\text{Z}}{\underset{\text{C}}{\text{Z}}} -\overset{\text{Z}}{\underset{\text{C}}} -\overset{\text{Z}}{\underset{\text{C}}{\text{Z}}} -\overset{\text{Z}}{\underset{\text{C}}} -\overset{\text{Z}}{\underset{\text{C}}{\text{Z}}} -\overset{\text{Z}}{\overset{Z}} -\overset{\text{Z}}{\underset{\text{C}}} -\overset{\text{Z}}{\underset{\text{C}}} -\overset{\text{Z}}{\underset{\text{C}}} -\overset{\text$$

- R_1 denotes hydrogen, (C_1-C_4) alkyl or (C_1-C_4) a.k. q_xy- cabonyl,
- R₂ and R₃, independently of one another, denote hydrogen, (C₁-C₄)alk₇l, (C₁-C₄)alkoxycarbonyl, (C₁-C₄)-alkoxy(C₁-C₄)alkoxycarbonyl, halo(C₁-C₄)alkoxycarbonyl, (C₁-C₄)alkoxycarbonyl, (C₁-C₄)alkoxycarbonyl, (C₁-C₄)alkoxycarbonyl, carbonyl, -CN, carboxyl or

- R4 denotes (C1-C4)alkyl, halo(C1-C4)alkyl, (C1-C4)alkoxyalkyl or (C1-C4)alkoxycarbonyl(C1-C4)alkyl;
- R5 denotes H or (C1-C4)alkyl,

 R_7 denotes hydrogen, (C1-C4)alkyl, $-C-N \times R_9$, phenyl or benzyl, which may both be monosubstituted

phenyl or benzyl, which may both be monosubstituted or disubstituted by (C1-C4)al'y', (C1-C4)alkoxy, halogen, NO2 on CF3,

Rg and Rg denote hydrogen, (C_1-C_4) alkyl or, together with the nitrogen atom connecting them, a 6-membered saturated heterocyclic ring,

M denotes
$$C = \frac{R_2}{R_3}$$
, -0- or -NR $_7$ -

denotes
$$C$$
 R_2 R_3

T denotes
$$C$$
 R_2 $C \sim R_3$

- X denotes 0 or S,
- Y denotes 0 or NH,
- Z denotes 0 or S and
- m denotes 1.
- A process for the preparation of a compound of the formula I of claim 1 or 2, or a salt thereof, wherein
- a) where Y = 0 or S, a compound of the formula II is readined with a compound of the formula III

$$R_{2} \xrightarrow{H_{N}} T$$

$$Y = C = X$$

$$Y = C R_{1}$$

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111

in which R denotes H or (C_1-C_4) alkyl, or b) a compound of the formula II is reacted with an amine of the formula IV

$$R_2$$
 R_3
 R_3
 R_4
 R_5
 R_7
 R_8
 R_8
 R_8
 R_9
 R_9

or
c) where Y = 0, a compound of the formula I obtained under b) is hydrolyzed, and the compound obtained is converted, if appropriate, into its salt.

- A herbicidal comprising a corpound of the formula I of claim 1 or 2, or a salt thereof and an inert carrier.
- The use of a compound of the formula 1 of claim 1 or 2, or a salt thereof, as a herbicide.
- 6. A process for controlling undesired plants, wherein an effective amount of a compound of the formula I, or a salt thereof, of claim 1 or 2 is applied to these plants or to the land _red agriculturally.

DATED this 17th day of December 1987.

HOECHST AKTIENGESELLSCHAFT

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